(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 7 July 2005 (07.07.2005)

PCT

(10) International Publication Number WO 2005/061483 A2

- (51) International Patent Classification?: C07D 401/00, 211/00, A61P 1/00, 9/00, 13/00, A61K 31/445, 31/404, 31/428, 31/4184, 31/415, 31/343
- (21) International Application Number:

PCT/NO2004/000399

(22) International Filing Date:

23 December 2004 (23.12.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:
PA 2003 01924 23 December 2003 (23.12.2003) DK

- (71) Applicant (for all designated States except US): BIO-ME-DISINSK INNOVASJON AS [NO/NO]; Gaustadalléen 21, N-0349 Oslo (NO).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): KLAVENESS, Jo [NO/NO]; Drug Discovery Laboratory AS, Gaustadalléen 21, N-0349 Oslo (NO). LEVY, Finn, Olav [NO/NO]; Langmyrgrenda 27, N-0861 Oslo (NO). BRUDELI, Bjarne [NO/NO]; Drug Discovery Laboratory AS, Gaustadalléen 21, N-0349 Oslo (NO).

- (74) Agent: PLOUGMANN & VINGTOFT NORWAY; P.O. Box 1003 Sentrum, N-0104 Oslo (NO).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

## Published:

 without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: MODULATORS OF PERIPHERAL 5-HT RECEPTORS

(57) Abstract: Novel modulators of 5-HT4 receptors have been developed which have a selectivity for peripheral receptors rather than those of the central nervous systems. Theses include novel derivatives of known modulators as well as entirely novel entities. Surprisingly, the derivatised compounds of the known modulators maintain a high binding affinity to 5-HT4 receptors, despite the presence of an acidic moiety at the end of an optional chain. The entirely novel entities also exhibit good binding affinity to 5-HT4 receptors. All of the compounds of the invention have a common motif which includes a basic nitrogen moiety and an acidic moiety. The compounds of the invention, due at least in part to their high ionisation potential at physiological pH, have the unique properties of selectively for peripheral 5HT4 receptors over those of the CNS, good binding affinity, and selectively of 5HT4 receptors over other serotonin receptors.

